

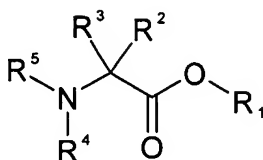
**Amendments to the Claims:**

This listing of the claims will replace all prior versions, and listings, of claims in the application.

**Listing of the Claims:**

Claims 1 - 4. Canceled.

5. (Currently Amended) A method for making a compound of Formula 1



Formula 1

where ~~R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> are the same or different and are~~ R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> are selected from:

- (a) ~~H, with the proviso that at least one of R<sup>2</sup> and R<sup>3</sup> is not H,~~
- (b) ~~mono-, di-, and tri-substituted aryl, and~~
- (c) ~~C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> substituted alkyl, C<sub>1</sub>-C<sub>10</sub> substituted alkyl-aryl, C<sub>1</sub>-C<sub>10</sub> substituted alkenyl, and C<sub>1</sub>-C<sub>10</sub> substituted alkenyl aryl, and~~

R<sup>2</sup> and R<sup>3</sup> are the same or different and are selected from

- (a) H, with the proviso that at least one of R<sup>2</sup> and R<sup>3</sup> is not H, and
- (b) C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> substituted alkyl, C<sub>1</sub>-C<sub>10</sub> substituted alkyl-aryl, C<sub>1</sub>-C<sub>10</sub> substituted alkenyl, and C<sub>1</sub>-C<sub>10</sub> substituted alkenyl aryl, and wherein R<sup>2</sup> and R<sup>3</sup> may be joined together to form a cyclic or heterocyclic ring having a ring size of 3 to 8 members,

where the substituents of ~~(b) and (c)~~ (b) and (c) ~~R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> are selected from:~~

H, chloro, fluoro, bromo, iodo, nitro, cyano, amino, C<sub>1</sub>-C<sub>10</sub> alkyloxy, C<sub>1</sub>-C<sub>10</sub> alkyloxy aryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, C<sub>1</sub>-C<sub>10</sub> alkylamino, C<sub>1</sub>-C<sub>10</sub> aminoalkyl aryl, C<sub>1</sub>-C<sub>10</sub> aminocarbonyl, C<sub>1</sub>-C<sub>10</sub> aminocarbonylalkyl-aryl, C<sub>1</sub>-C<sub>10</sub> thioalkyl, C<sub>1</sub>-C<sub>10</sub> thioalkyl-aryl, C<sub>1</sub>-C<sub>10</sub> alkylsulfoxide, C<sub>1</sub>-C<sub>10</sub> alkylsulfone, C<sub>1</sub>-C<sub>10</sub>

alkylsulfonamide, C<sub>1</sub>-C<sub>10</sub> alkylsulfonamide aryl, C<sub>1</sub>-C<sub>10</sub> alkylsulfoxide aryl, C<sub>1</sub>-C<sub>10</sub> alkylsulfone aryl, C<sub>1</sub>-C<sub>10</sub> alkyl, aminocarbonylamino C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alky aminocarbonylamino C<sub>1</sub>-C<sub>10</sub> alkyl aryl, C<sub>1</sub>-C<sub>10</sub> alkyloxycarbonyl C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkyloxycarbonyl C<sub>1</sub>-C<sub>10</sub> alkyl aryl, C<sub>1</sub>-C<sub>10</sub> carboxyalkyl, C<sub>1</sub>-C<sub>10</sub> carboxyalkyl aryl, C<sub>1</sub>-C<sub>10</sub> carbonylalkyl, C<sub>1</sub>-C<sub>10</sub> carbonylalkyl aryl, C<sub>1</sub>-C<sub>10</sub> alkyloxycarbonylamino alkyl, C<sub>1</sub>-C<sub>10</sub> alkyloxycarbonylamino alkyl aryl, guanidino, C<sub>1</sub>-C<sub>10</sub> alkylCOOH, C<sub>1</sub>-C<sub>10</sub> alkylCONH<sub>2</sub>, C<sub>1</sub>-C<sub>10</sub> alkenylCOOH, C<sub>1</sub>-C<sub>10</sub> alkenyl CONH<sub>2</sub>, and

where the aryl group of ~~(b) and (c)~~ R<sup>1</sup>, R<sup>2</sup>, and R<sup>3</sup> is selected from:

phenyl, biphenyl, 2-naphthyl, 1-naphthyl, pyridyl, furyl, thiophenyl, indolyl, isothiazolyl, imidazolyl, benzimidazolyl, tetrazolyl, pyrazinyl, pyrimidyl, quinolyl, isoquinolyl, benzofuryl, isobenzofuryl, benzothienyl, pyrazolyl, isoindolyl, purinyl, carbazolyl, isoxazolyl, thiazolyl, oxazolyl, benthiazolyl, benzoxazolyl; and

where R<sup>4</sup> and R<sup>5</sup> are the same or different and are selected from:

(d) H, and

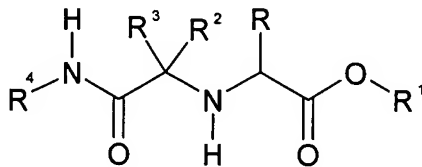
(e) an amine protecting group;

said method comprising:

(i) reacting

a amino acid/~~chiral auxiliary~~ of the formula NH<sub>2</sub>-CHR-COOH  
or a salt thereof, wherein R is an aryl group selected from the  
group consisting of phenyl, biphenyl, 1-naphthyl, and 2-  
naphthyl, wherein the aryl group of R is substituted with 1 to  
5 substituents selected from the group consisting of  
hydrogen, cyano, amino, C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>1</sub>-C<sub>10</sub> alkyloxy, C<sub>1</sub>-  
C<sub>10</sub> alkyloxyaryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, C<sub>1</sub>-C<sub>10</sub> alkylamino, C<sub>1</sub>-  
C<sub>10</sub> aminoalkyl aryl,  
a convertible isocyanide, and  
~~at least one of an aldehyde and a ketone~~ a compound of the  
formula R<sup>3</sup>-CO-R<sup>2</sup>,

in an alcohol or an alcohol-containing solvent to obtain a compound of Formula 2



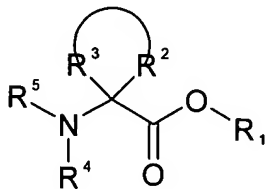
Formula 2

and

(ii) ~~subjecting the compound of Formula 2 to aryl-amine/hydrolysis, including catalytic hydrogenation conditions, and to amide cleavage/hydrolysis conditions, to obtain the compound of~~  
Formula 1.

6. (Currently Amended) The method of claim 5, where the amine protecting group of R<sup>4</sup> or R<sup>5</sup> is selected from phenyl, cyclohexenyl, cyclohexyl, t-butyl, 9-fluorenylmethylcarbonyl, tert-butyloxycarbonyl, allyloxycarbonyl, and benzyloxycarbonyl.

7. (Original) The method of claim 5, where the groups R<sup>2</sup> and R<sup>3</sup> are joined together to form cyclic compound with a ring system as represented by Formula 1a

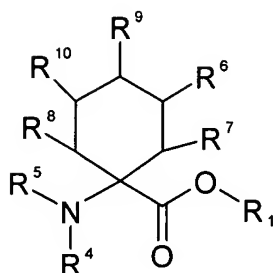


Formula 1a

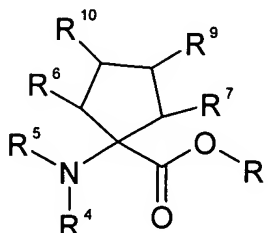
where the ring system has a ring size of 3 to 8 members.

8. (Original) The method of claim 7, where the ring system is selected from:

- (a) mono-, di-, tri-, or tetra-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, and cyclooctyl as shown in compounds of Formulae 1b and 1c

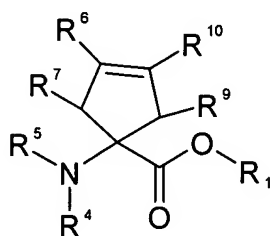


Formula 1b



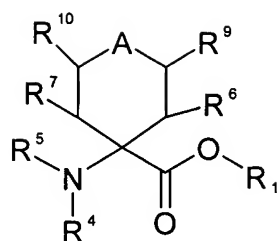
Formula 1c

- (b) mono-, di-, tri-, or tetra-substituted cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, and cyclooctenyl as shown in compounds of Formula 1d

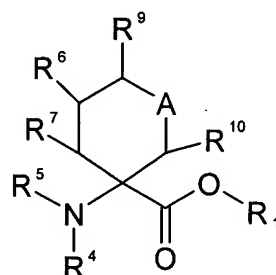


Formula 1d

- (c) mono-, di-, tri- or tetra-substituted heterocyclic compounds of Formulae 1e and 1f, where A is O, S, SO, SO<sub>2</sub>, NH, SO<sub>2</sub>NHR<sup>8</sup>, NCONHR<sup>8</sup>, NCOOR<sup>8</sup>, or NR<sup>8</sup>,



Formula 1e



Formula 1f

and where  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$  and  $R^{10}$  of Formulae 1a-1f are the same or different and are selected from:

- (d) H,
- (e) mono-, di-, and tri-substituted aryl, and
- (f)  $C_1$ - $C_{10}$  substituted alkyl,  $C_1$ - $C_{10}$  -substituted alkyl-aryl  $C_1$ - $C_{10}$  substituted alkenyl, and  $C_1$ - $C_{10}$  substituted alkenyl aryl,

where the substituents of (e) and (f) are selected from:

H, chloro, fluoro, bromo, iodo, nitro, cyano, amino,  $C_1$ - $C_{10}$  alkyloxy,  $C_1$ - $C_{10}$  alkyloxy aryl,  $C_1$ - $C_{10}$  aminoalkyl,  $C_1$ - $C_{10}$  alkylamino,  $C_1$ - $C_{10}$  aminoalkyl aryl,  $C_1$ - $C_{10}$  aminocarbonyl,  $C_1$ - $C_{10}$  aminocarbonylalkyl-aryl,  $C_1$ - $C_{10}$  thioalkyl,  $C_1$ - $C_{10}$  thioalkyl-aryl,  $C_1$ - $C_{10}$  alkylsulfoxide,  $C_1$ - $C_{10}$  alkylsulfone,  $C_1$ - $C_{10}$  alkylsulfonamide,  $C_1$ - $C_{10}$  alkylsulfonamide aryl,  $C_1$ - $C_{10}$  alkylsulfoxide aryl,  $C_1$ - $C_{10}$  alkylsulfone aryl,  $C_1$ - $C_{10}$  alkyl, aminocarbonylamino  $C_1$ - $C_{10}$  alkyl,  $C_1$ - $C_{10}$  alkyl aminocarbonylamino  $C_1$ - $C_{10}$  alkyl aryl,  $C_1$ - $C_{10}$  alkyloxycarbonyl  $C_1$ - $C_{10}$  alkyl,  $C_1$ - $C_{10}$  alkyloxycarbonyl  $C_1$ - $C_{10}$  alkyl aryl,  $C_1$ - $C_{10}$  carboxyalkyl, carboxyalkyl aryl,  $C_1$ - $C_{10}$  carbonylalkyl,  $C_1$ - $C_{10}$  carbonylalkyl aryl,  $C_1$ - $C_{10}$  alkyloxycarbonylamino alkyl,  $C_1$ - $C_{10}$  alkyloxycarbonylamino alkyl aryl, guanidino,  $C_1$ - $C_{10}$  alkylCOOH,  $C_1$ - $C_{10}$  alkylCONH<sub>2</sub>,  $C_1$ - $C_{10}$  alkenylCOOH,  $C_1$ - $C_{10}$  alkenyl CONH<sub>2</sub>,

and where the aryl group of (e) and (f) are selected from:

phenyl, biphenyl, 2-naphthyl, 1-naphthyl, pyridyl, furyl, thiophenyl, indolyl, isothiazolyl, imidazolyl, benzimidazolyl, tetrazolyl, pyrazinyl, pyrimidyl, quinolyl, isoquinolyl, benzofuryl, isobenzofuryl, benzothienyl, pyrazolyl, isoindolyl,

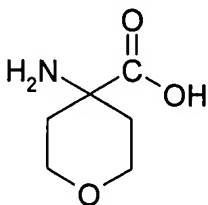
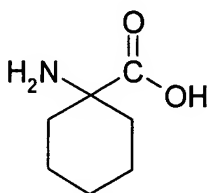
purinyl, carbazolyl, isoxazolyl, thiazolyl, oxazolyl, benthiazolyl, and benzoxazolyl.

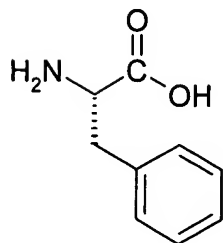
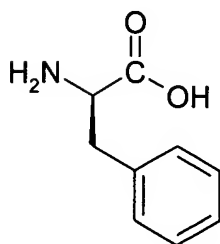
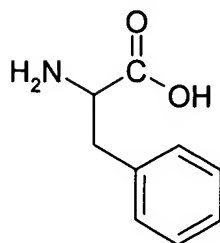
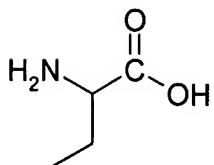
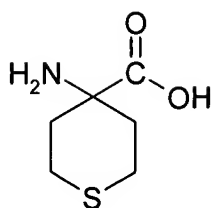
9. (Currently Amended) The method of claim 5, where the amino acid/~~chiral auxiliary~~ is phenyl glycine, the convertible isocyanide is ~~isocyanide~~ cyclohexenyl, tert-butyl, cyclohexyl, phenyl, or 2-(tert-butyl dimethylsilyloxy methyl) phenyl isocyanides, the alcohol is methanol, ethanol, or isopropanol, and the catalytic hydrogenation ~~employs conditions employ~~ employ  $\text{Pd}(\text{OH})_2$  for a catalyst.

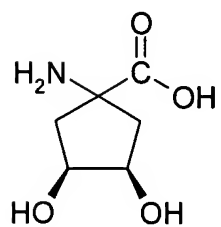
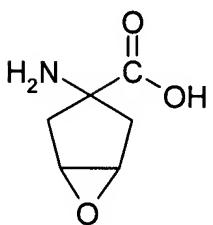
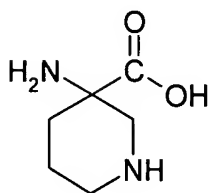
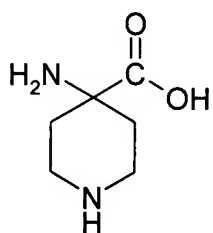
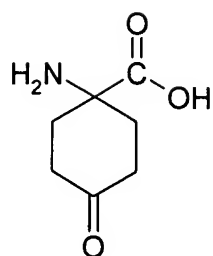
10. (Currently Amended) The method of claim 5, further comprising the step of ~~where step (ii) comprises that the aryl amine/hydrolysis and the amide cleavage/hydrolysis are followed by an amine protection reaction to place~~ attaching at least one amine protecting group on the N amine of Formula 1.

11. (Canceled).

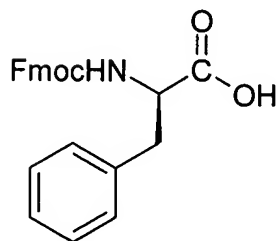
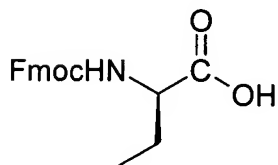
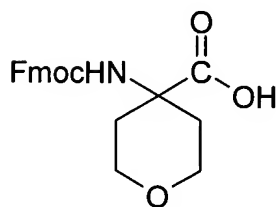
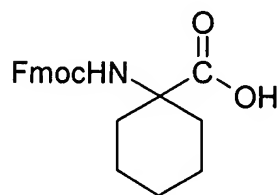
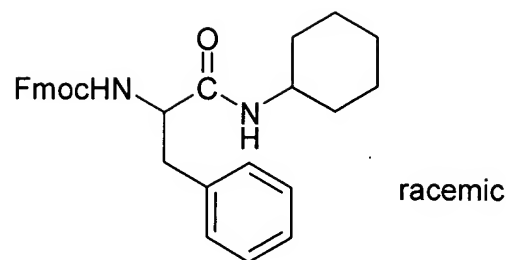
12. (Original) The method of claim 5, where Formula 1 comprises a compound selected from the group consisting of:

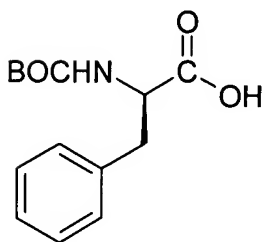
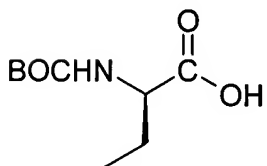
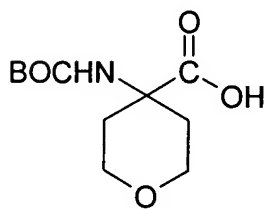
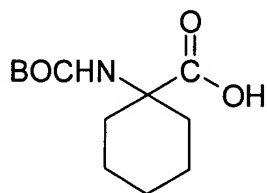
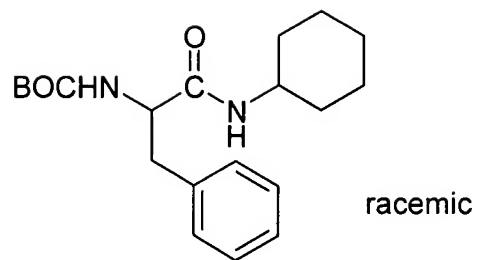












and

